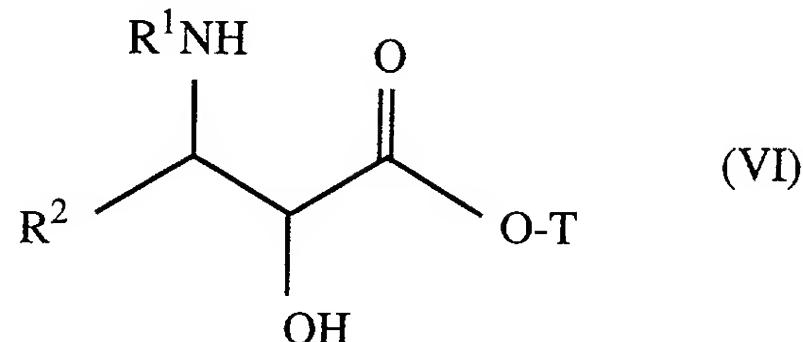


What is claimed is

1. A method for the preparation of a compound of the following formula VI or salt thereof:

5



where

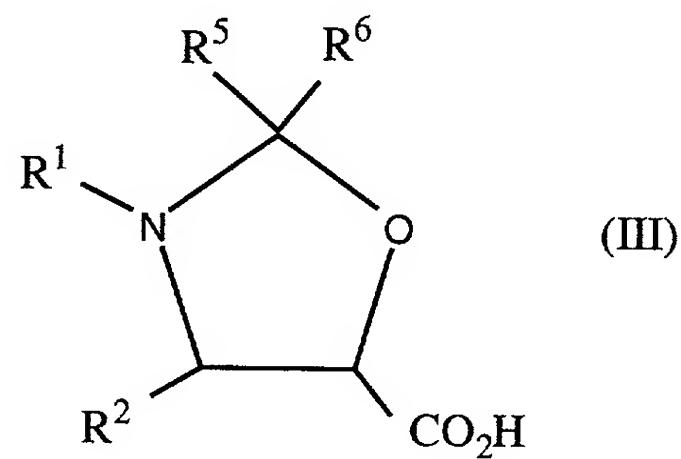
R^1 is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

R^2 is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of said moiety;

comprising the steps of:

15 (a) contacting a compound of the following formula III or salt thereof:



20 where

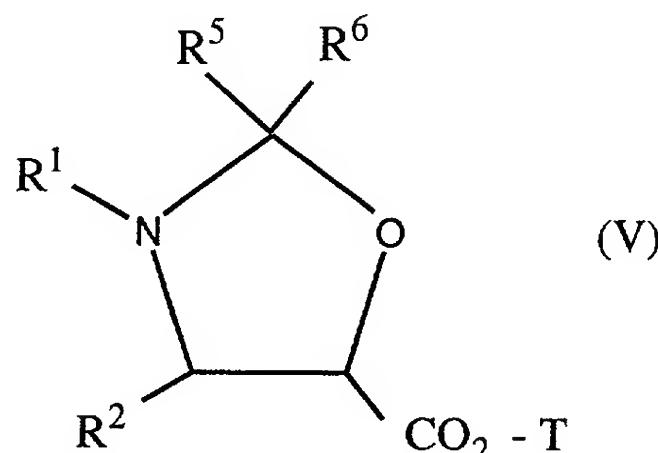
R^1 and R^2 are as defined above; and

R^5 and R^6 are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;

with a compound of the following formula IV or salt thereof:



5 where T is as defined above, in the presence of a coupling agent, to form a compound of the following formula V or salt thereof:



10

where R¹, R², R⁵, R⁶ and T are as defined above; and

15 (b) contacting said compound of the formula V or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

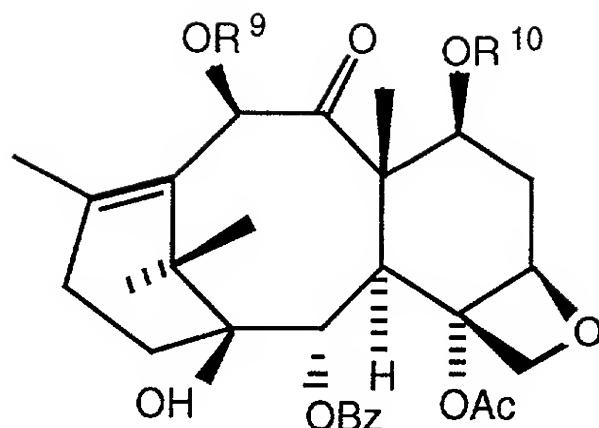
2. The method of claim 1, wherein

20 R¹ is arylcarbonyl or alkyloxycarbonyl;

R² is phenyl, thiienyl or furyl;

R⁵ and R⁶ are each independently unsubstituted lower alkyl; and

T is the moiety:



where

R⁹ is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

5 R¹⁰ is hydrogen or a hydroxyl protecting group.

3. The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the aforementioned compounds are employed together with an amine.

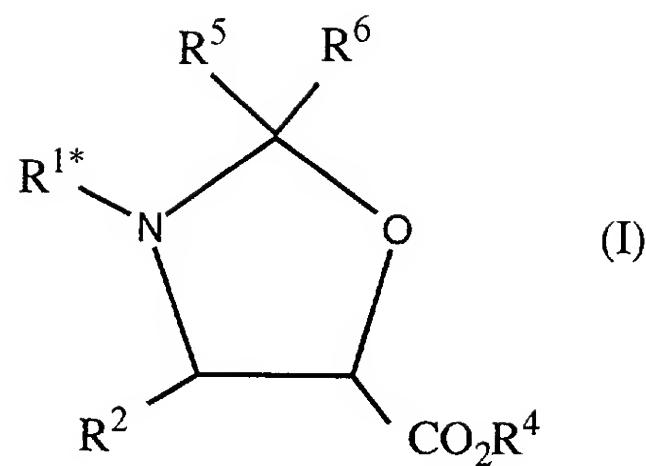
15 4. The method of claim 1, wherein said ring-opening agent is a Lewis acid.

5. The method of claim 4, wherein said Lewis acid is Pd(CH₃CN)₂Cl₂.

20

6. The method of claim 1, wherein said compound of the formula VI is paclitaxel.

7. The method of claim 1, wherein R¹ is the group R¹* in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula I or salt thereof:



where

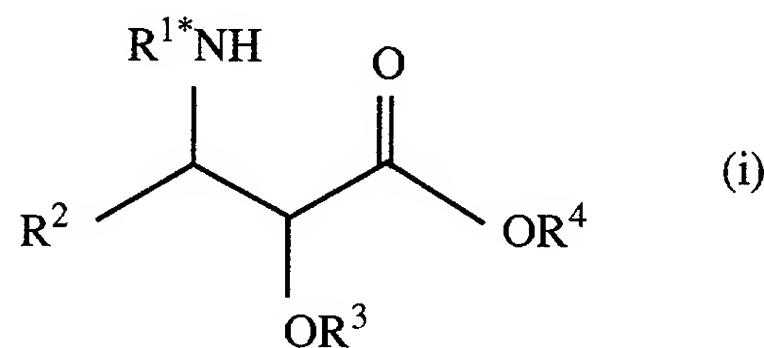
5 R^2 , R^5 and R^6 are as defined above;

10 R^4 is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

15 R^{1*} is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl;

20 with a hydrolyzing agent.

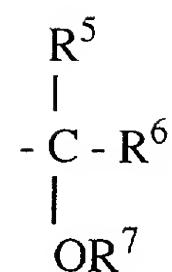
8. The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:



20 where

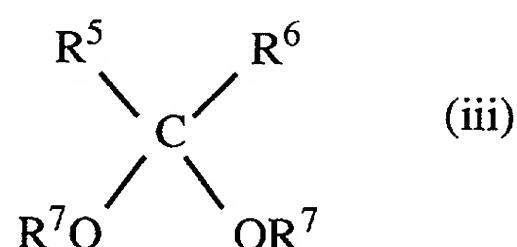
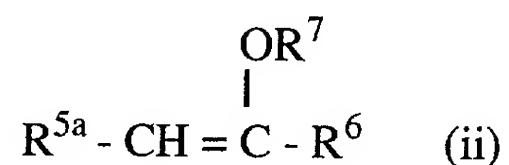
R^{1*} , R^2 and R^4 are as defined above; and

R^3 is hydrogen or the group R^3P , where R^3P is the group:



where R^5 and R^6 are as defined above, and R^7 is alkyl or aryl;

5 with an acid catalyst, and additionally, where R^3 is hydrogen, with a compound of the formula ii or iii:

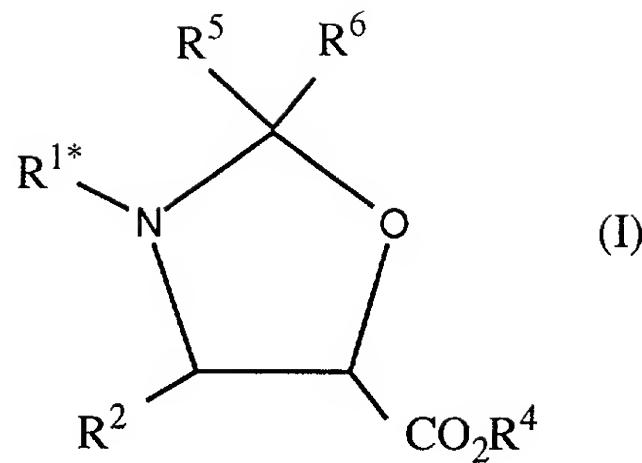


10

where R^5 , R^6 and R^7 are as defined above, and where R^{5a} (i) is a group such that $\text{R}^{5a}-\text{CH}_2-$ is R^5 or (ii) forms, together with R^6 and the atoms to which R^{5a} and R^6 are bonded, a 15 cycloalkenyl or heterocyclo group containing at least one carbon to carbon double bond.

9. A compound of the following formula I or salt thereof:

20



where

R^{1*} is hydrogen, arylcarbonyl, alkoxy carbonyl or

5 alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl;

R^2 is aryl, heterocyclo or alkyl;

R^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

10 R^5 and R^6 are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group.

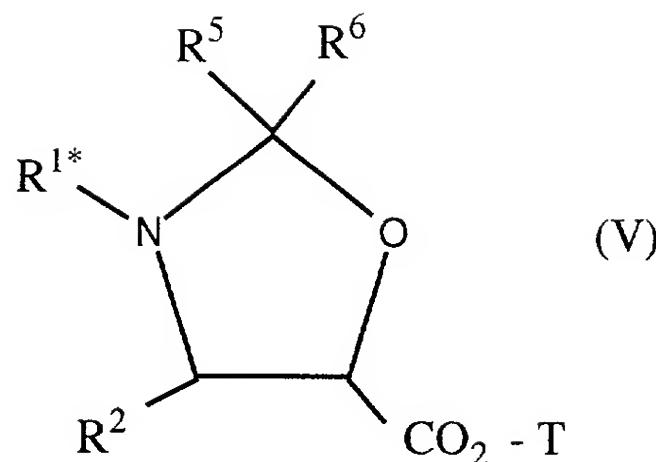
10. A compound of claim 9 which is selected from
15 the group consisting of:

(4*S*-*trans*)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

20 (4*S*-*trans*)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, lithium salt; and

(4*S*-*trans*)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid.

25 11. A compound of the following formula V or salt thereof:



where

R^{1*} is hydrogen, arylcarbonyl, alkoxy carbonyl or

5 alkylcarbonyl, with the proviso that R^{1*} is not
tert-butoxycarbonyl when R² is aryl;

R² is aryl, heterocyclo or alkyl;

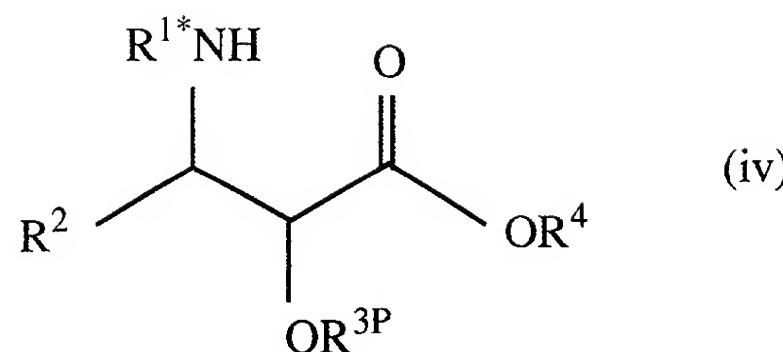
R⁵ and R⁶ are (a) each independently alkyl; or (b) together
with the carbon atom to which they are bonded, form a
10 cycloalkyl, cycloalkenyl or heterocyclo group; and

15 T is a taxane moiety directly bonded at C-13 of said
moiety.

12. A compound of claim 11 which is

15 [2aR-(2a α , 4 β , 4a β , 6 β , 9 α (4S*, 5R*) , -11 α , 12 α , 12a α , 12b α)]-
3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid
6,12b-bis(acetoxy)-12-(benzoyloxy)-
2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-
20 4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-
methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

13. A compound of the following formula iv or
salt thereof:



where

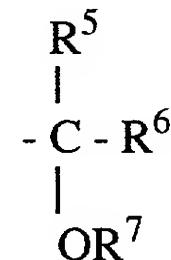
R^1 is hydrogen, arylcarbonyl, alkoxy carbonyl or

5 alkylcarbonyl, with the proviso that R^1 is not
tert-butoxycarbonyl when R^2 is aryl;

R^2 is aryl, heterocyclo or alkyl;

R^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl,
cycloalkenyl, or heterocyclo; and

10 $\text{R}^{3\text{P}}$ is the group:

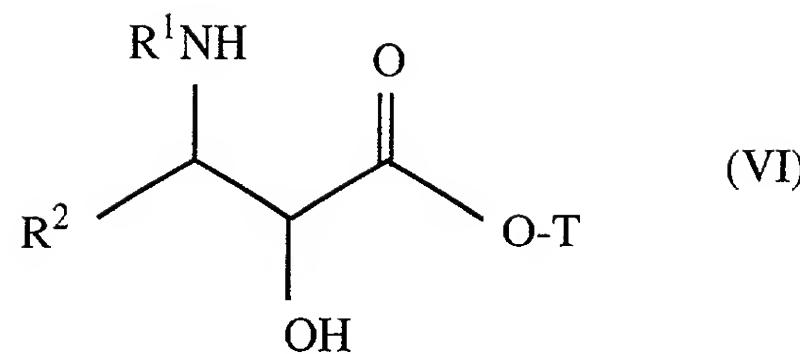


where

15 R^5 and R^6 are (a) each independently alkyl; or (b) together
with the carbon atom to which they are bonded, form a
cycloalkyl, cycloalkenyl or heterocyclo group; and

R^7 is alkyl or aryl.

20 14. A method for the preparation of a compound of
the following formula VI or a salt thereof:



where

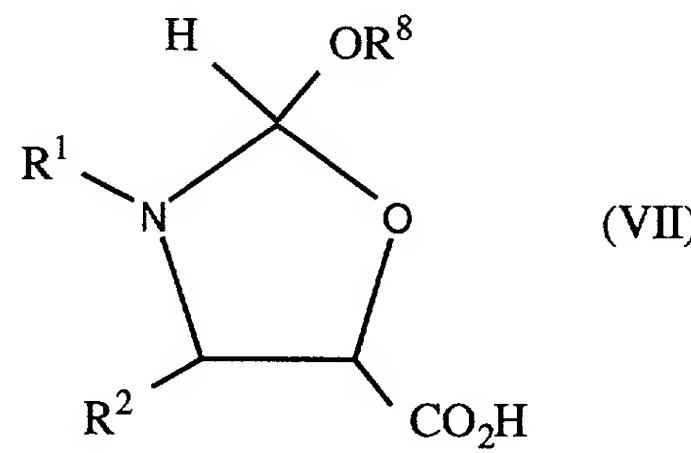
R^1 is hydrogen, arylcarbonyl, alkoxy carbonyl or
5 alkylcarbonyl;

R^2 is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of said
moiety;

comprising the steps of:

10 (a) contacting a compound of the following
formula VII or salt thereof:



15 where

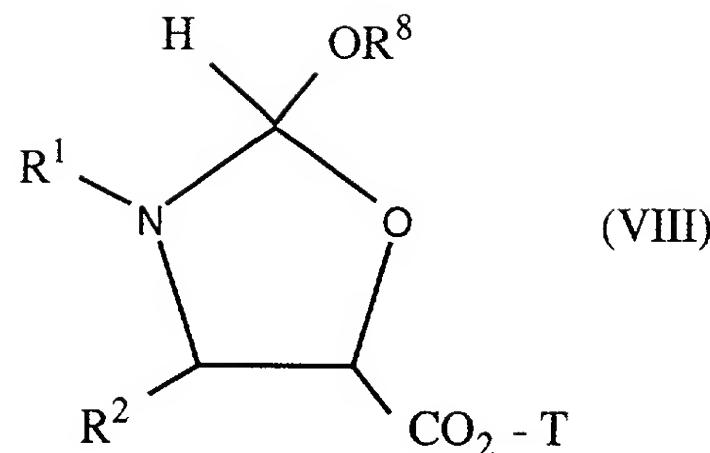
R^1 and R^2 are as defined above; and

R^8 is alkyl or aryl;

with a compound of the following formula IV or salt thereof:

20 HO - T (IV),

where T is as defined above, in the presence of a coupling agent, to form a compound of the following formula VIII or salt thereof:



where R^1 , R^2 , R^8 and T are as defined above; and

5 (b) contacting said compound of the formula VIII or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

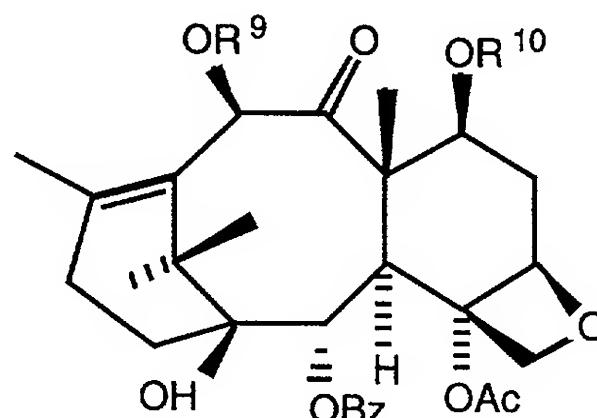
10 15. The method of claim 14, wherein

R^1 is arylcarbonyl or alkyloxycarbonyl;

R^2 is phenyl, thienyl or furyl;

R^8 is alkyl or aryl; and

15 T is the moiety:



where

R^9 is hydrogen, alkylcarbonyl, or a hydroxyl protecting

20 group; and

R^{10} is hydrogen or a hydroxyl protecting group.

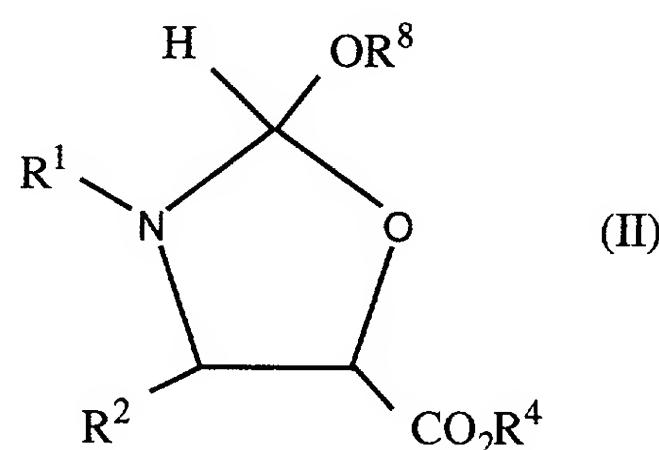
16. The method of claim 14, wherein said coupling agent comprises a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride), carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride; 5 wherein the aforementioned compounds are employed together with 1-hydroxybenzotriazole, N-hydroxysuccinimide, or an amine.

17. The method of claim 14, wherein said 10 ring-opening agent is a protic acid.

18. The method of claim 17, wherein said protic acid is an organic carboxylic acid and/or an aqueous mineral acid.

15 19. The method of claim 14, wherein said compound of the formula VI is paclitaxel or taxotere.

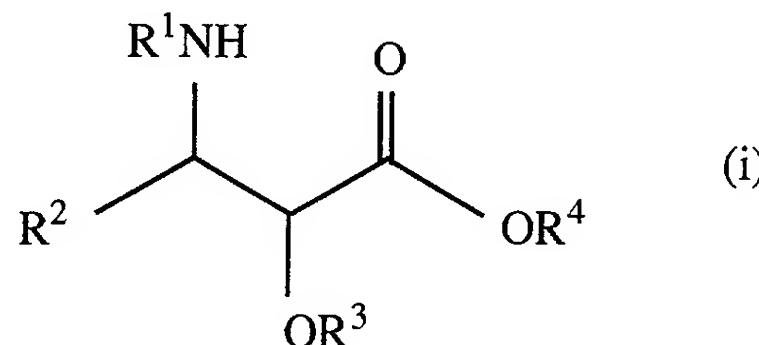
20. The method of claim 14, wherein said compound of the formula VII or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula II or salt thereof:



25 where R¹, R² and R⁸ are as defined above; and R⁴ is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo;

with a hydrolyzing agent.

21. The method of claim 20, wherein said compound of the formula II or salt thereof is prepared by a method 5 comprising the step of contacting a compound of the following formula i or salt thereof:

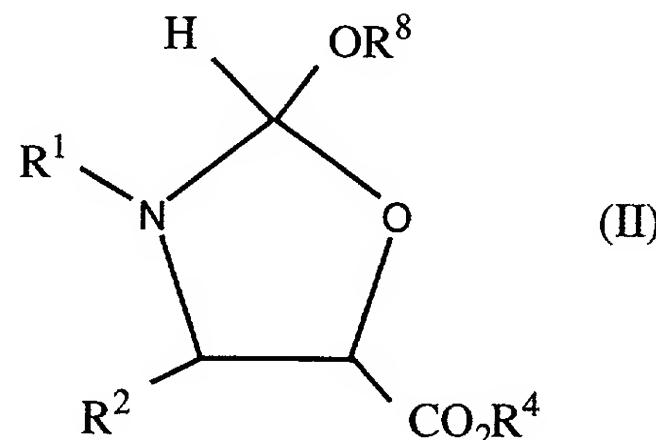


10 where R^1 , R^2 and R^4 are as defined above; and
 R^3 is hydrogen;
with an acid catalyst and a compound of the following formula vi:



where R^8 is as defined above.

22. A compound of the following formula II or salt 20 thereof:



where

R¹ is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

R² is aryl, heterocyclo or alkyl;

R⁴ is hydrogen, alkyl, alkenyl, alkynyl, aryl, 5 cycloalkyl, cycloalkenyl, or heterocyclo; and R⁸ is alkyl or aryl.

23. A compound of claim 22 which is selected from the group consisting of:

10

(4S,5R)-3-benzoyl-2-ethoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

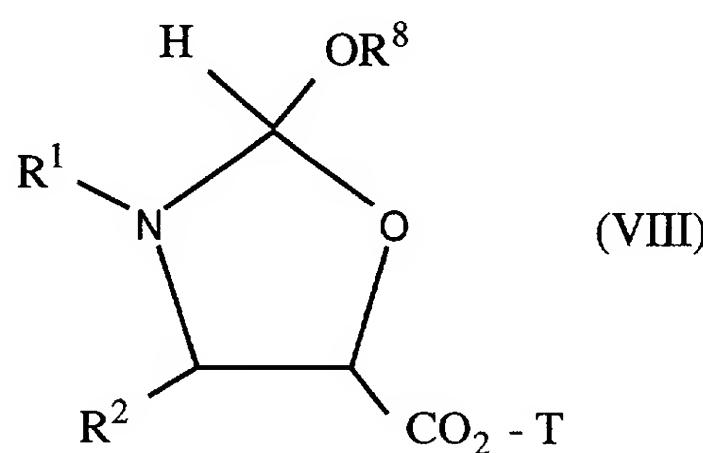
15

(4S,5R)-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester; and

(4S,5 β)-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid.

20

24. A compound of the following formula VIII or salt thereof:



25 where

R¹ is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

R² is aryl, heterocyclo or alkyl;

R⁸ is alkyl or aryl; and

T is a taxane moiety directly bonded at C-13 of said moiety.

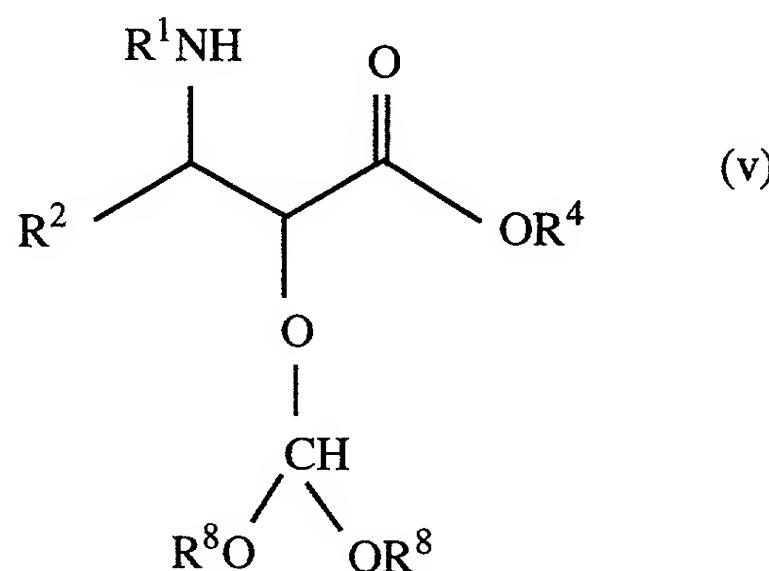
5

25. A compound of claim 24 which is

[2aR-(2a α ,4 β ,4a β ,6 β ,9 α (4S*,5R*),-11 α ,12 α ,12a α ,12b α]-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid
10 6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

15

26. A compound of the following formula v or salt thereof:



20

where

R¹ is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

R² is aryl, heterocyclo or alkyl;

R⁴ is hydrogen, alkyl, alkenyl, alkynyl, aryl,

25

cycloalkyl, cycloalkenyl, or heterocyclo; and

R⁸ is alkyl or aryl.